

Title (en)
FLUORINATED INTEGRIN ANTAGONISTS

Title (de)
FLUORIERTE INTEGRIN-ANTAGONISTEN

Title (fr)
ANTAGONISTES D'INTÉGRINES FLUORÉES

Publication
EP 3674301 A1 20200701 (EN)

Application
EP 19205757 A 20140207

Priority

- US 201361762087 P 20130207
- US 201361900706 P 20131106
- EP 17186012 A 20140207
- EP 14749249 A 20140207
- US 2014015372 W 20140207

Abstract (en)
The present invention relates to fluorinated compounds of formula I and methods of synthesizing these compounds. The present invention also relates to pharmaceutical compositions containing the fluorinated compounds of the invention, and methods of treating macular degeneration, diabetic retinopathy (DR), macular edema, diabetic macular edema (DME), and macular edema following retinal vein occlusion (RVO), by administering these compounds and pharmaceutical compositions to subjects in need thereof.

IPC 8 full level
C07D 471/04 (2006.01); **A61K 31/4375** (2006.01); **A61K 31/444** (2006.01); **A61K 31/506** (2006.01); **A61K 45/06** (2006.01); **A61P 3/10** (2006.01); **A61P 27/00** (2006.01)

CPC (source: EP RU US)
A61K 9/0048 (2013.01 - EP US); **A61K 31/4375** (2013.01 - EP RU US); **A61K 31/444** (2013.01 - EP RU US); **A61K 31/4709** (2013.01 - EP US); **A61K 31/506** (2013.01 - EP RU US); **A61K 45/06** (2013.01 - EP US); **A61K 47/02** (2013.01 - EP); **A61K 47/183** (2013.01 - EP); **A61K 47/34** (2013.01 - EP); **A61K 47/40** (2013.01 - EP); **A61P 3/00** (2018.01 - EP); **A61P 3/10** (2018.01 - EP); **A61P 9/10** (2018.01 - EP); **A61P 27/00** (2018.01 - EP); **A61P 27/02** (2018.01 - EP RU); **A61P 43/00** (2018.01 - EP); **C07D 471/04** (2013.01 - EP RU US)

C-Set (source: EP US)
1. **A61K 31/4375 + A61K 2300/00**
2. **A61K 31/444 + A61K 2300/00**
3. **A61K 31/506 + A61K 2300/00**

Citation (applicant)

- WO 2013000909 A1 20130103 - BAYER IP GMBH [DE], et al
- WO 2011150156 A2 20111201 - SUNOVION PHARMACEUTICALS INC [US], et al
- US 2004038963 A1 20040226 - WANG JIABING [US]
- "Design of Prodrugs", 1985, ELSEVIER
- LI Y ET AL., ADV DRUG DELIV REV, vol. 58, 2006, pages 1258 - 1268
- VANDAMNE TF, PROG RETINAL EYE RES, vol. 21, 2002, pages 15 - 34
- WAGH VD ET AL., J PHARM RES, vol. 3, no. 7, 2010, pages 1558 - 1563
- KAUR H, INT J PHARM SCI REV RES, vol. 15, no. 1, 2012, pages 113 - 120
- GAUDANA RJWALA JBODDU SHSMITRA AK, PHARM RES., vol. 26, no. 5, 2009, pages 1197 - 1216
- HING, INT. J. OPHTHALMOL, vol. 6, 2013, pages 390 - 396
- RABINOW, NATURE REV DRUG DISC, 2004, pages 785 - 796
- BRADSHAW, B. ET AL., ORG. BIOMOL. CHEM., vol. 6, 2008, pages 2138 - 2157
- STRAGIES, R. ET AL., J. MED. CHEM., vol. 50, 2007, pages 3786 - 3794
- COLEMAN, P. J., J. MED. CHEM., vol. 47, 2004, pages 4829 - 4837
- ANDO, M. ET AL., ORG. LETT., vol. 8, 2006, pages 3805 - 3808
- SATO, I. ET AL., SYNTHESIS, vol. 9, 2004, pages 1419 - 1428
- PIALAT, A. ET AL., ORG. LETT., vol. 15, 2013, pages 1764 - 1767
- SEEBACH, D. ET AL., LIEBIGS ANN. CHEM., 1994, pages 701 - 717
- SONDEJ, S. C. ET AL., J. ORG. CHEM., vol. 51, 1986, pages 3508 - 13

Citation (search report)

- [A] EP 1040098 A1 20001004 - MERCK & CO INC [US]
- [A] WO 0207730 A1 20020131 - MERCK & CO INC [US], et al
- [A] HUTCHINSON JOHN H ET AL: "Nonpeptide alphavbeta3 antagonists. 8. In vitro and in vivo evaluation of a potent alphavbeta3 antagonist for the prevention and treatment of osteoporosis", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 46, no. 22, 23 October 2003 (2003-10-23), pages 4790 - 4798, XP002581906, ISSN: 0022-2623, [retrieved on 20030927], DOI: 10.1021/JM030306R
- [A] COLEMAN P J ET AL: "Nonpeptide [alpha]v[beta]3 antagonists. Part 11: Discovery and preclinical evaluation of potent [alpha]v[beta]3 antagonists for the prevention and treatment of osteoporosis", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 47, no. 20, 23 September 2004 (2004-09-23), pages 4829 - 4837, XP002581905, ISSN: 0022-2623, [retrieved on 20040826], DOI: 10.1021/JM049874C

Designated contracting state (EPC)
AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO RS SE SI SK SM TR

Designated extension state (EPC)
BA ME

DOCDB simple family (publication)
WO 2014124302 A1 20140814; AU 2014214737 A1 20150813; AU 2014214737 B2 20170727; BR 112015019039 A2 20170718; BR 112015019039 A8 20191112; BR 112015019039 B1 20220303; BR 122019026750 B1 20220303; CA 2899321 A1 20140814;

CA 2899321 C 20210309; CN 105246889 A 20160113; CN 105246889 B 20180731; CN 108690022 A 20181023; CN 108690022 B 20210817; CY 1120213 T1 20181212; DK 2953948 T3 20171218; EP 2953948 A1 20151216; EP 2953948 A4 20160622; EP 2953948 B1 20170927; EP 3266782 A1 20180110; EP 3266782 B1 20191030; EP 3674301 A1 20200701; ES 2651162 T3 20180124; ES 2763556 T3 20200529; HK 1217696 A1 20170120; HK 1248677 A1 20181019; HR P20171873 T1 20180223; HU E035357 T2 20180502; IL 240181 A0 20150924; IL 240181 A 20160630; IL 245471 A0 20160630; IL 245471 B 20180329; JP 2016507571 A 20160310; JP 2019108377 A 20190704; JP 6494528 B2 20190403; KR 102216091 B1 20210216; KR 20150115812 A 20151014; LT 2953948 T 20180110; ME 02938 B 20180420; NZ 710447 A 20201030; PL 2953948 T3 20180330; PT 2953948 T 20171212; RS 56711 B1 20180330; RU 2015137785 A 20170314; RU 2698195 C2 20190823; SI 2953948 T1 20180228; US 10106537 B2 20181023; US 11685737 B2 20230627; US 2016075698 A1 20160317; US 2017071939 A1 20170316; US 2017291900 A1 20171012; US 2019263810 A1 20190829; US 2021163473 A1 20210603; US 2024140942 A1 20240502; US 9518053 B2 20161213; US 9717729 B2 20170801; ZA 201505575 B 20220330

DOCDB simple family (application)

US 2014015372 W 20140207; AU 2014214737 A 20140207; BR 112015019039 A 20140207; BR 122019026750 A 20140207; CA 2899321 A 20140207; CN 201480020172 A 20140207; CN 201810749035 A 20140207; CY 171101252 T 20171129; DK 14749249 T 20140207; EP 14749249 A 20140207; EP 17186012 A 20140207; EP 19205757 A 20140207; ES 14749249 T 20140207; ES 17186012 T 20140207; HK 16105603 A 20160516; HK 18108084 A 20180622; HR P20171873 T 20171204; HU E14749249 A 20140207; IL 24018115 A 20150728; IL 24547116 A 20160504; JP 2015557136 A 20140207; JP 2019039410 A 20190305; KR 20157022414 A 20140207; LT 14749249 T 20140207; ME P2017281 A 20140207; NZ 71044714 A 20140207; PL 14749249 T 20140207; PT 14749249 T 20140207; RS P20171250 A 20140207; RU 2015137785 A 20140207; SI 201430481 T 20140207; US 201414766322 A 20140207; US 201615343823 A 20161104; US 201715633946 A 20170627; US 201916409960 A 20190513; US 202016991138 A 20200812; US 202318212324 A 20230621; ZA 201505575 A 20150803